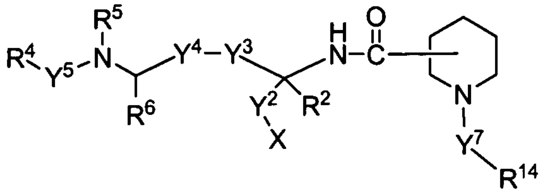
Amendments to the claims

This listing of claims replaces all prior versions and listings of claims in the application:

Listing of Claims:

1. (Currently Amended) A compound of the formula:



wherein

Y^7 is SO_2;

R^{14} is

1) C_{1-10} alkyl,
2) C_{2-10} alkenyl,
3) C_{2-10} alkynyl,
4) Cy,
5) Cy-C_{1-10} alkyl,
6) Cy-C_{2-10} alkenyl, or
7) Cy-C_{2-10} alkynyl;

wherein Cy is optionally substituted with one to four substituents independently selected from R^b or one of the following groups:

1) $-NR^cC(O)NR^cSO_2R^d$,
2) $-NR^cS(O)_mR^d$,
3) $-OS(O)_2OR^c$, or
4) $-OP(O)(OR^c)_2$;

R^2 is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,

5) Cy,

6) Cy-C_{1-10} alkyl,

7) Cy-C_{1-10} alkenyl, or

8) Cy-C_{1-10} alkynyl;

wherein each of said alkyl, alkenyl and alkynyl is optionally substituted with one to four substituents independently selected from R^a, each of said aryl and heteroaryl is optionally substituted with one to four substituents independently selected from R^b;

Y^2 is a bond;

X is COOH;

Y^3 is C_{1-5} alkylene;

Y^4 is -C(O)NH-;

Y^5 is CO;

R^4 is Z^5-L^c-Z^6, where

Z^5 is aryl;

L^c is -NH-C(O)-NH-; and

Z^6 is aryl-C_{1-10} alkyl;

R^5 is hydrogen, aryl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, or aryl-substituted alkyl; and

R^6 is alkyl, alkenyl, alkynyl, cycloalkyl, aryl-fused cycloalkyl, cycloalkenyl, aryl, aralkyl, aryl-substituted alkenyl or alkynyl, cycloalkyl-substituted alkyl, cycloalkenyl-substituted cycloalkyl, biaryl, alkenoxy, alkynoxy, aralkoxy, aryl-substituted alkenoxy or alkynoxy, alkylamino, alkenylamino or alkynylamino, aryl-substituted alkylamino, aryl-substituted alkenylamino or alkynylamino, aryloxy, arylamino, heterocyclyl, heterocyclyl-substituted alkyl, heterocyclyl-substituted amino, carboxyalkyl substituted aralkyl, oxocarbocyclyl-fused aryl, or an amino acid side chain selected from the group consisting of arginine, asparagine, glutamine, S-methyl cysteine, methionine and corresponding sulfoxide and sulfone derivatives thereof, cyclohexylalanine, leucine, isoleucine, allo-isoleucine, tert-leucine, norleucine, phenylalanine, phenylglycine, tyrosine, tryptophan, proline, alanine, ornithine, histidine, glutamine, norvaline, valine, threonine, serine, beta-cyanoalanine, 2-aminobutyric acid and allothreonine;

each of said Cy is cycloalkyl, cycloalkenyl, heterocyclyl, aryl, or heteroaryl;

R^a is

1) Cy,
2) $-OR^c$,
3) $-NO_2$,
4) -halogen,
5) $-S(O)_mR^c$,
6) $-SR^c$,
7) $-S(O)_2OR^c$,
8) $-S(O)_2NR^cR^d$,
9) $-NR^cR^d$,
10) $-O(CR^eR^f)_nNR^cR^d$,
11) $-C(O)R^d$,
12) $-CO_2R^c$,
13) $-P(O)(OR^c)(OR^d)$,
14) $-P(O)(R^c)(OR^d)$,
15) $-S(O)_mOR^c$,
16) $-C(O)NR^cR^j$,
17) $-CO_2(CR^eR^f)_nCONR^cR^d$,
18) $-OC(O)R^c$,
19) -CN,
20) $-NR^cC(O)R^d$,
21) $-OC(O)NR^cR^d$,
22) $-NR^cC(O)OR^d$,
23) $-NR^cC(O)NR^dR^e$,
24) $-CR^c(NOR^d)$,
25) $-CF_3$,
26) $-OCF_3$, or
27) oxo;

wherein Cy is optionally substituted with one to four substituents independently selected from R^b;

R^b is

1) a group selected from R^a,

2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) aryl-C_{1-10} alkyl, or
6) heteroaryl-C_{1-10} alkyl,

wherein each of alkyl, alkenyl, alkynyl, aryl, and heteroaryl is optionally substituted with a group independently selected from R^g;

each of R^c, R^d, R^e, and R^f, independently, is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy, or
6) Cy-C_{1-10} alkyl;

wherein each of alkyl, alkenyl, alkynyl and Cy is optionally substituted with one to four substituents independently selected from R^g;

R^g is

1) halogen,
2) amino,
3) carboxy,
4) -COO-C_{1-4} alkyl,
5) $-P(O)(OH)_2$,
6) -P(O)(OH)(O-C_{1-4} alkyl),
7) -P(O)(C_{1-4} alkyl$)_2$,
8) -P(O)(OH)(C_{1-4} alkyl),
9) -P(O)(O-C_{1-4} alkyl)(C_{1-4} alkyl),
10) $-SO_2$-C_{1-4} alkyl,
11) $-CO-NH_2$,
12) -CO-NH(C_{1-4} alkyl),
13) -CO-N(C_{1-4} alkyl$)_2$,
14) C_{1-4} alkyl,

15) C_{1-4} alkoxy,

16) aryl,

17) aryl-C_{1-4} alkoxy,

18) hydroxy,

19) CF_3, or

20) aryloxy;

or a pharmaceutically acceptable salt thereof

~~R^3—L—L'—R^1~~

~~wherein~~

~~R^1 is~~

~~1) H,~~

~~2) C_{1-10} alkyl,~~

~~3) C_{2-10} alkenyl,~~

~~4) C_{2-10} alkynyl,~~

~~5) Cy,~~

~~6) Cy-C_{1-10} alkyl,~~

~~7) Cy-C_{1-10} alkenyl, or~~

~~8) Cy-C_{1-10} alkynyl;~~

~~L' is a hydrocarbon linker moiety having 1-5 carbon chain atoms and is~~

~~(i) optionally interrupted by, or terminally attached to~~

~~(ii), one or more of the following groups:~~

~~1) -C(O)-,~~

~~2) -O-C(O)-,~~

~~3) -C(O)-O-,~~

~~4) -C(O)-NR^e-,~~

~~5) -NR^e-C(O)-,~~

~~6) -NR^e-C(O)-NR^d-,~~

~~7) -NR^e-C(O)-O-,~~

~~8) -O-C(O)-NR^e-,~~

~~9) -$S(O)_m$-,~~

~~10) -SO_2-NR^e-,~~

~~11) -NR^{e}-SO$_{2}$-,~~

~~12) -NR^{e}-C(NR^{m})-,~~

~~13) -O-,~~

~~14) -NR^{e}-, or~~

~~15) -Cy; or~~

~~(ii) optionally substituted with one or more substituents independently selected from R^{b};~~

~~L is a hydrocarbon linker moiety having 1-14 carbon chain atoms and is~~

~~(i) optionally interrupted by, or terminally attached to, one or more of the following groups:~~

~~1) -C(O)-,~~

~~2) -O-C(O)-,~~

~~3) -C(O)-O-,~~

~~4) -C(O)-NR^{e}-,~~

~~5) -NR^{e}-C(O)-,~~

~~6) -NR^{e}-C(O)-NR^{d}-,~~

~~7) -NR^{e}-C(O)-O-,~~

~~8) -O-C(O)-NR^{e}-,~~

~~9) -S(O)$_{m}$-,~~

~~10) -SO$_{2}$-NR^{e}-,~~

~~11) -NR^{e}-SO$_{2}$-,~~

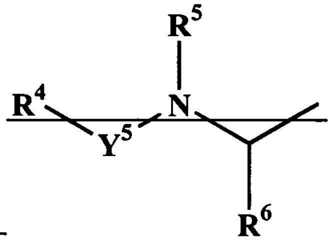
~~12) -O-,~~

~~13) -NR^{e}-, or~~

~~14) -Cy; or~~

~~(ii) optionally substituted with one or more substituents independently selected from R^{b}; and~~

R^{3} ~~is alkyl, alkenyl, alkynyl, cycloalkyl, aryl-fused cycloalkyl, cycloalkenyl, aryl, aralkyl, aryl-substituted alkenyl or alkynyl, cycloalkyl-substituted alkyl, cycloalkenyl-substituted cycloalkyl, biaryl, alkenoxy, alkynoxy, aralkoxy, aryl-substituted alkenoxy or alkynoxy, alkylamino, alkenylamino or alkynylamino, aryl-substituted alkylamino, aryl-substituted alkenylamino or alkynylamino, aryloxy, arylamino, heterocyclyl, heterocyclyl-substituted alkyl, heterocyclyl-substituted amino, carboxyalkyl substituted aralkyl, or oxocarbocyclyl-fused aryl; or a moiety of the following formula:~~



~~wherein:~~

~~Y^5 is selected from the group consisting of -CO-, -O-CO-, -SO_2- and -PO_2-;~~

~~each of R^4 and R^6, independently, is alkyl, alkenyl, alkynyl, cycloalkyl, aryl-fused cycloalkyl, cycloalkenyl, aryl, aralkyl, aryl-substituted alkenyl or alkynyl, cycloalkyl-substituted alkyl, cycloalkenyl-substituted cycloalkyl, biaryl, alkenoxy, alkynoxy, aralkoxy, aryl-substituted alkenoxy or alkynoxy, alkylamino, alkenylamino or alkynylamino, aryl-substituted alkylamino, aryl-substituted alkenylamino or alkynylamino, aryloxy, arylamino, heterocyclyl, heterocyclyl-substituted alkyl, heterocyclyl-substituted amino, carboxyalkyl substituted aralkyl, oxocarbocyclyl-fused aryl, or an amino acid side chain selected from the group consisting of arginine, asparagine, glutamine, S-methyl cysteine, methionine and corresponding sulfoxide and sulfone derivatives thereof, cyclohexylalanine, leucine, isoleucine, allo-isoleucine, tert-leucine, norleucine, phenylalanine, phenylglycine, tyrosine, tryptophan, proline, alanine, ornithine, histidine, glutamine, norvaline, valine, threonine, serine, beta-cyanoalanine, 2-aminobutyric acid and allothreonine; and~~

~~R^5 is hydrogen, aryl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, or aryl-substituted alkyl, R^5 and R^6 may be taken together with the atoms to which they are attached to form a heterocycle of 5 to 7 members;~~

~~each of said Cy is cycloalkyl, cycloalkenyl, heterocyclyl, aryl, or heteroaryl;~~

~~each of said alkyl, alkenyl and alkynyl is optionally substituted with one to four substituents independently selected from R^a; and~~

~~each of said cycloalkyl, cycloalkenyl, heterocyclyl, aryl, or heteroaryl is optionally substituted with one to four substituents independently selected from R^b;~~

~~R^a is~~

~~1) Cy,~~

~~2) OR^e,~~

~~3) NO_2,~~

~~4) halogen,~~

~~5) $S(O)_mR^e$;~~

~~6) SR^e;~~

~~7) $S(O)_2OR^e$;~~

~~8) $S(O)_2NR^eR^d$;~~

~~9) NR^eR^d;~~

~~10) $O(CR^eR^f)_nNR^eR^d$;~~

~~11) $C(O)R^d$;~~

~~12) CO_2R^e;~~

~~13) $P(O)(OR^e)(OR^d)$;~~

~~14) $P(O)(R^e)(OR^d)$;~~

~~15) $S(O)_mOR^e$;~~

~~16) $C(O)NR^eR^j$;~~

~~17) $CO_2(CR^eR^f)_nCONR^eR^d$;~~

~~18) $OC(O)R^e$;~~

~~19) CN;~~

~~20) $NR^eC(O)R^d$;~~

~~21) $OC(O)NR^eR^d$;~~

~~22) $NR^eC(O)OR^d$;~~

~~23) $NR^eC(O)NR^dR^e$;~~

~~24) $CR^e(NOR^d)$;~~

~~25) CF_3;~~

~~26) OCF_3, or~~

~~27) oxo~~

~~wherein Cy is optionally substituted with one to four substituents independently selected from R^b;~~

~~R^b is~~

~~1) a group selected from R^a;~~

~~2) C_{1-10} alkyl,~~

~~3) C_{2-10} alkenyl,~~

~~4) C_{2-10} alkynyl,~~

~~5) aryl-C_{1-10} alkyl, or~~

~~6) heteroaryl-C_{1-10} alkyl,~~

~~wherein each of alkyl, alkenyl, alkynyl, aryl, and heteroaryl is optionally substituted with a group independently selected from R^g~~

~~each of R^c, R^d, R^e, and R^f, independently, is~~

~~1) H,~~

~~2) C_{1-10} alkyl,~~

~~3) C_{2-10} alkenyl,~~

~~4) C_{2-10} alkynyl,~~

~~5) Cy, or~~

~~6) Cy-C_{1-10} alkyl;~~

~~wherein each of alkyl, alkenyl, alkynyl and Cy is optionally substituted with one to four substituents independently selected from R^g;~~

~~R^g is~~

~~1) halogen,~~

~~2) amino,~~

~~3) carboxy,~~

~~4) -COO-C_{1-4} alkyl,~~

~~5) -P(O)(OH)$_2$,~~

~~6) -P(O)(OH)(O-C_{1-4} alkyl),~~

~~7) -P(O)(C_{1-4} alkyl)$_2$,~~

~~8) -P(O)(OH)(C_{1-4} alkyl),~~

~~9) -P(O)(O-C_{1-4} alkyl)(C_{1-4} alkyl),~~

~~10) SO_2-C_{1-4} alkyl,~~

~~11) CO-NH_2,~~

~~12) CO-NH(C_{1-4} alkyl),~~

~~13) CO-N(C_{1-4} alkyl)$_2$,~~

~~14) C_{1-4} alkyl,~~

~~15) C_{1-4} alkoxy,~~

~~16) aryl,~~

~~17) aryl-C_{1-4} alkoxy,~~

~~18) hydroxy,~~

~~19) CF_3, or~~

~~20) aryloxy;~~

~~R^m is~~

~~1) H,~~
~~2) C_{1-10} alkyl,~~
~~3) C_{2-10} alkenyl,~~
~~4) C_{2-10} alkynyl,~~
~~5) Cy,~~
~~6) Cy-C_{1-10} alkyl;~~
~~7) C_{1-10} acyl,~~
~~8) cyano,~~
~~9) C_{1-10} alkyl-sulfonyl, or~~
~~10) C_{1-10} alkoxy; and~~

~~R^j is~~

~~1) H,~~
~~2) C_{1-10} alkyl,~~
~~3) C_{2-10} alkenyl,~~
~~4) C_{2-10} alkynyl,~~
~~5) cyano,~~
~~6) aryl,~~
~~7) aryl-C_{1-10} alkyl,~~
~~8) heteroaryl,~~
~~9) heteroaryl-C_{1-10} alkyl, or~~
~~10) SO_2R^k;~~

~~where R^k is C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, and aryl;~~

~~R^c and R^d taken together with the atoms to which they are attached optionally form a heterocyclic ring of 5 to 7 members, said ring containing 0-2 additional heteroatoms independently selected from O, N and S;~~

~~R^e and R^f taken together with the atoms to which they are attached optionally form a ring of 5 to 7 members, said ring containing 0-2 additional heteroatoms independently selected from O, S and N;~~

~~m is 0, 1, or 2;~~

~~n is an integer from 1 to 10;~~

~~provided that when L is saturated and has 1-4 carbon chain atoms,~~

~~(i) L must contain a heteroatom selected from O, S, and N; or~~

~~(ii) R^3 must contain the moiety o-methylphenyl-ureido-phenyl-CH_2-; or~~

~~(iii) R^1 must contain only one Cy group; and~~

~~(iv) when L is terminally attached to R^3 by -C(=O)NH-, R^1 is not optionally substituted pyrrolidinyl substituted with a -SO_2-optionally substituted phenyl group;~~

~~or a pharmaceutically acceptable salt thereof~~.

2.-58. (cancelled)

59. (Currently amended) The compound of claim 1, where said compound is compound no.

~~6696~~

~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 and R^6 together are 1,3-propanediyl);~~

~~6697~~

~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_1 alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 and R^6 together are 1,3-propanediyl);~~

~~7256~~

~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_4 alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 and R^6 together are 1,3-propanediyl);~~

~~7578~~

~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is methyl, and~~

~~R^6 is hydrogen);~~

8555

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-piperidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

~~8571~~

~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)azacyclo-2-butyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C$_2$ alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is methyl, and~~

~~R^6 is 2-methylpropyl);~~

~~8646~~

~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -CH$_2$COOH,~~

~~L is C$_2$ alkyl interrupted by -NR^e-C(O)- where R^e is H,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is hydrogen, and~~

~~R^6 is 2-methylpropyl);~~

~~9271~~

~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-methyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -C(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is 1,4-piperidinediyl terminally attached to R^3 by -C(=O)-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is hydrogen, and~~

~~R^6 is 2-methylpropyl);~~

~~7083~~

~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is N-[2-(pyrrolidine-2-yl)ethyl]-methanesulfonylaminomethyl, terminally attached to R^3 by -C(=O)- at the 1-position of the pyrrolidine ring,~~

~~R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);~~

~~7328~~

~~(R^1 is 1-methanesulfonyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C$_2$ alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is methyl, and~~

~~R^6 is 2-methylpropyl);~~

~~7399~~

~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C$_2$ alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is hydrogen, and~~

~~R^6 is hydrogen);~~

~~7788~~

~~(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C$_2$ alkyl terminally attached to -O-(o-phenyl)- terminally attached to R^3 by -C(=O)NH-,~~

~~R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);~~

~~7855~~

~~(R~~^{1} ~~is 1-benzenesulfonyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R~~^{1} ~~by -NHC(=O)- and~~

~~(ii) substituted with -~~CH_2COOH~~,~~

~~L is~~ C_4 ~~alkyl terminally attached to R~~^{3} ~~by -C(=O)NH-,~~

~~R~~^{3} ~~is 4-[N'-(2-methylphenyl)ureido]benzyl);~~

~~8205~~

~~(R~~^{1} ~~is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R~~^{1} ~~by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is~~ C_5 ~~alkyl terminally attached to R~~^{3} ~~by -C(=O)NH-,~~

~~R~~^{3} ~~is 4-[N'-(2-methylphenyl)ureido]benzyl);~~

~~8290~~

~~(R~~^{1} ~~is 1-(furan-2-ylmethyl)-5-oxopyrrolidin-2S-yl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R~~^{1} ~~by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is~~ C_2 ~~alkyl terminally attached to R~~^{3} ~~by -C(=O)NH-,~~

~~R~~^{4} ~~is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y~~^{5} ~~is -C(=O)-,~~

~~R~~^{5} ~~is methyl, and~~

~~R~~^{6} ~~is 2-methylpropyl);~~

~~8291~~

~~(R~~^{1} ~~is 1-(furan-2-ylmethyl)-5-oxopyrrolidin-2R-yl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R~~^{1} ~~by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is~~ C_2 ~~alkyl terminally attached to R~~^{3} ~~by -C(=O)NH-,~~

~~R~~^{4} ~~is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is methyl, and~~

~~R^6 is 2-methylpropyl);~~

~~8294~~

~~(R^1 is 1-(thiophene-2-ylmethyl)-5-oxopyrrolidin-2S-yl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is methyl, and~~

~~R^6 is 2-methylpropyl);~~

~~8295~~

~~(R^1 is 1-(thiophene-2-ylmethyl)-5-oxopyrrolidin-2R-yl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is methyl, and~~

~~R^6 is 2-methylpropyl);~~

~~8304~~

~~(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is p-tolyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);~~

~~8557~~

~~(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is p-tolyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^3 is ethyl-2-(4-[N'-(2-methylphenyl)ureido]phenyl));~~

~~8583~~

~~(R^1 is 1-methanesulfonyl-5-phenyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is methyl, and~~

~~R^6 is 2-methylpropyl);~~

~~8586~~

~~(R^1 is (benzenesulfonyl)cyclohexylaminomethyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is methyl, and~~

~~R^6 is 2-methylpropyl);~~

~~8606~~

~~(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is 4-[(pyrrolidine-1-carbonyl)-amino]phenylmethyl terminally attached to R^3 by -C(=O)NH- at the 3-position of the pyrrolidine ring,~~

~~R^3 is benzyl);~~

~~8607~~

~~(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is 4-[(pyrrolidine-1-carbonyl)-amino]phenylmethyl terminally attached to R^3 by -C(=O)NH- at the 3-position of the pyrrolidine ring,~~

~~R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);~~

8628

(R^1 is 1-benzenesulfonyl-3-piperidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

8674

(R^1 is 1-benzenesulfonyl-4-piperidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

~~8684~~

~~(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is p-xylyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);~~

~~8929~~

~~(R^1 is 2,6-dichlorophenyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C$_2$ alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is methyl, and~~

~~R^6 is 2-methylpropyl);~~

~~9273~~

~~(R^1 is 2,6-dichlorophenyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -C(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is 1,4-piperidinediyl terminally attached to R^3 by -C(=O)-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is hydrogen, and~~

~~R^6 is 2-methylpropyl); or~~

~~9275~~

~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-methyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -C(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is 4-methylpiperidine-1-yl terminally attached to R^3 by -C(=O)-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

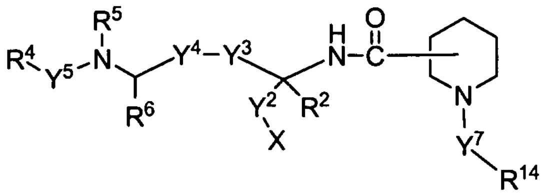
~~Y^5 is -C(=O)-,~~

~~R^5 is hydrogen, and~~

~~R^6 is 2-methylpropyl)~~.

60. (Cancelled)

61. (Currently Amended) A composition comprising a pharmaceutical carrier and an effective amount of a compound of the following formula:



wherein

Y^7 is SO_2;

R^{14} is

15) C_{1-10} alkyl,
16) C_{2-10} alkenyl,
17) C_{2-10} alkynyl,
18) Cy,
19) Cy-C_{1-10} alkyl,
20) Cy-C_{2-10} alkenyl, or
21) Cy-C_{2-10} alkynyl;

wherein Cy is optionally substituted with one to four substituents independently selected from R^b or one of the following groups:

5) $-NR^cC(O)NR^cSO_2R^d$,
6) $-NR^cS(O)_mR^d$,
7) $-OS(O)_2OR^c$, or
8) $-OP(O)(OR^c)_2$;

R^2 is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy,
6) Cy-C_{1-10} alkyl,
7) Cy-C_{1-10} alkenyl, or
8) Cy-C_{1-10} alkynyl;

wherein each of said alkyl, alkenyl and alkynyl is optionally substituted with one to four substituents independently selected from R^a, each of said aryl and heteroaryl is optionally substituted with one to four substituents independently selected from R^b;

Y^2 is a bond;

X is COOH;

Y^3 is C_{1-5} alkylene;

Y^4 is -C(O)NH-;

Y^5 is CO;

R^4 is Z^5-L^c-Z^6, where

Z^5 is aryl;

L^c is -NH-C(O)-NH-; and

Z^6 is aryl-C_{1-10} alkyl;

R^5 is hydrogen, aryl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, or aryl-substituted alkyl; and

R^6 is alkyl, alkenyl, alkynyl, cycloalkyl, aryl-fused cycloalkyl, cycloalkenyl, aryl, aralkyl, aryl-substituted alkenyl or alkynyl, cycloalkyl-substituted alkyl, cycloalkenyl-substituted cycloalkyl, biaryl, alkenoxy, alkynoxy, aralkoxy, aryl-substituted alkenoxy or alkynoxy, alkylamino, alkenylamino or alkynylamino, aryl-substituted alkylamino, aryl-substituted alkenylamino or alkynylamino, aryloxy, arylamino, heterocyclyl, heterocyclyl-substituted alkyl, heterocyclyl-substituted amino, carboxyalkyl substituted aralkyl, oxocarbocyclyl-fused aryl, or an amino acid side chain selected from the group consisting of arginine, asparagine, glutamine, S-methyl cysteine, methionine and corresponding sulfoxide and sulfone derivatives thereof, cyclohexylalanine, leucine, isoleucine, allo-isoleucine, tert-leucine, norleucine, phenylalanine, phenylglycine, tyrosine, tryptophan, proline, alanine, ornithine, histidine, glutamine, norvaline, valine, threonine, serine, beta-cyanoalanine, 2-aminobutyric acid and allothreonine;

each of said Cy is cycloalkyl, cycloalkenyl, heterocyclyl, aryl, or heteroaryl;

R^a is

1) Cy,
2) -OR^c,
3) -NO$_2$,
4) -halogen,
5) -S(O)$_m$R^c,
6) -SR^c,
7) -S(O)$_2$OR^c,
8) -S(O)$_2$NR^{c}R^d,
9) -NR^{c}R^d,
10) -O(CR^{e}R^f)$_n$NR^{c}R^d,
11) -C(O)R^d,
12) -CO$_2$R^c,
13) -P(O)(OR^c)(OR^d),
14) -P(O)(R^c)(OR^d),
15) -S(O)$_m$OR^c,
16) -C(O)NR^{c}R^j,
17) -CO$_2$(CR^{e}R^f)$_n$CONR^{c}R^d,
18) -OC(O)R^c,
19) -CN,
20) -NR^{c}C(O)R^d,
21) -OC(O)NR^{c}R^d,
22) -NR^{c}C(O)OR^d,
23) -NR^{c}C(O)NR^{d}R^e,
24) -CR^c(NOR^d),
25) -CF$_3$,
26) -OCF$_3$, or

27) oxo;

wherein Cy is optionally substituted with one to four substituents independently selected from R^b;

R^b is

1) a group selected from R^a,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) aryl-C_{1-10} alkyl, or
6) heteroaryl-C_{1-10} alkyl,

wherein each of alkyl, alkenyl, alkynyl, aryl, and heteroaryl is optionally substituted with a group independently selected from R^g;

each of R^c, R^d, R^e, and R^f, independently, is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy, or
6) Cy-C_{1-10} alkyl;

wherein each of alkyl, alkenyl, alkynyl and Cy is optionally substituted with one to four substituents independently selected from R^g;

R^g is

1) halogen,
2) amino,
3) carboxy,
4) -COO-C_{1-4} alkyl,
5) $-P(O)(OH)_2$,
6) -P(O)(OH)(O-C_{1-4} alkyl),
7) -P(O)(C_{1-4} alkyl$)_2$,
8) -P(O)(OH)(C_{1-4} alkyl),
9) -P(O)(O-C_{1-4} alkyl)(C_{1-4} alkyl),

10) -SO_2-C_{1-4} alkyl,
11) -CO-NH_2,
12) -CO-NH(C_{1-4} alkyl),
13) -CO-N(C_{1-4} alkyl)$_2$,
14) C_{1-4} alkyl,
15) C_{1-4} alkoxy,
16) aryl,
17) aryl-C_{1-4} alkoxy,
18) hydroxy,
19) CF_3, or
20) aryloxy;

or a pharmaceutically acceptable salt thereof

R^1 ~~is~~

~~1) H,~~
~~2) C_{1-10} alkyl,~~
~~3) C_{2-10} alkenyl,~~
~~4) C_{2-10} alkynyl,~~
~~5) Cy,~~
~~6) Cy-C_{1-10} alkyl,~~
~~7) Cy-C_{1-10} alkenyl, or~~
~~8) Cy-C_{1-10} alkynyl;~~

~~L' is a hydrocarbon linker moiety having 1-5 carbon chain atoms and is~~

~~(i) optionally interrupted by, or terminally attached to, one or more of the following groups:~~

~~1) -C(O)-,~~
~~2) -O-C(O)-,~~
~~3) -C(O)-O-,~~
~~4) -C(O)-NR^e-,~~
~~5) -NR^e-C(O)-,~~
~~6) -NR^e-C(O)-NR^d-,~~
~~7) -NR^e-C(O)-O-,~~

~~8) –O–C(O)–NR^{e}–,~~

~~9) –S(O)$_{m}$–,~~

~~10) –SO$_{2}$–NR^{e}–,~~

~~11) –NR^{e}–SO$_{2}$–,~~

~~12) –NR^{e}–C(NR^{m})–,~~

~~13) –O–,~~

~~14) –NR^{e}–, or~~

~~15) Cy; or~~

~~(ii) optionally substituted with one or more substituents independently selected from R^{b};~~

~~**L** is a hydrocarbon linker moiety having 1-14 carbon chain atoms and is~~

~~(i) optionally interrupted by, or terminally attached to, one or more of the following groups:~~

~~1) –C(O)–,~~

~~2) –O–C(O)–,~~

~~3) –C(O)–O–,~~

~~4) –C(O)–NR^{e}–,~~

~~5) –NR^{e}–C(O)–,~~

~~6) –NR^{e}–C(O)–NR^{d}–,~~

~~7) –NR^{e}–C(O)–O–,~~

~~8) –O–C(O)–NR^{e}–,~~

~~9) –S(O)$_{m}$–,~~

~~10) –SO$_{2}$–NR^{e}–,~~

~~11) –NR^{e}–SO$_{2}$–,~~

~~12) –O–,~~

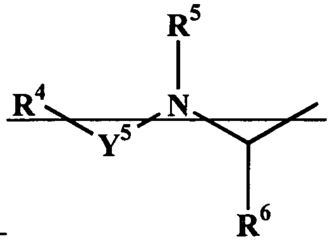
~~13) –NR^{e}–, or~~

~~14) Cy; or~~

~~(ii) optionally substituted with one or more substituents independently selected from R^{b}; and~~

R^{3} ~~is alkyl, alkenyl, alkynyl, cycloalkyl, aryl-fused cycloalkyl, cycloalkenyl, aryl, aralkyl, aryl-substituted alkenyl or alkynyl, cycloalkyl-substituted alkyl, cycloalkenyl-substituted cycloalkyl, biaryl, alkenoxy, alkynoxy, aralkoxy, aryl-substituted alkenoxy or alkynoxy, alkylamino, alkenylamino or alkynylamino, aryl-substituted alkylamino, aryl-substituted alkenylamino or alkynylamino, aryloxy, arylamino, heterocyclyl, heterocyclyl-substituted alkyl, heterocyclyl-~~

~~substituted amino, carboxyalkyl substituted aralkyl, or oxocarbocyclyl-fused aryl; or a moiety of the following formula:~~



~~wherein:~~

~~Y^5 is selected from the group consisting of -CO-, -O-CO-, -SO$_2$- and -PO$_2$-;~~

~~each of R^4 and R^6, independently, is alkyl, alkenyl, alkynyl, cycloalkyl, aryl-fused cycloalkyl, cycloalkenyl, aryl, aralkyl, aryl-substituted alkenyl or alkynyl, cycloalkyl-substituted alkyl, cycloalkenyl-substituted cycloalkyl, biaryl, alkenoxy, alkynoxy, aralkoxy, aryl-substituted alkenoxy or alkynoxy, alkylamino, alkenylamino or alkynylamino, aryl-substituted alkylamino, aryl-substituted alkenylamino or alkynylamino, aryloxy, arylamino, heterocyclyl, heterocyclyl-substituted alkyl, heterocyclyl-substituted amino, carboxyalkyl substituted aralkyl, oxocarbocyclyl-fused aryl, or an amino acid side chain selected from the group consisting of arginine, asparagine, glutamine, S-methyl cysteine, methionine and corresponding sulfoxide and sulfone derivatives thereof, cyclohexylalanine, leucine, isoleucine, allo-isoleucine, tert-leucine, norleucine, phenylalanine, phenylglycine, tyrosine, tryptophan, proline, alanine, ornithine, histidine, glutamine, norvaline, valine, threonine, serine, beta-cyanoalanine, 2-aminobutyric acid and allothreonine; and~~

~~R^5 is hydrogen, aryl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, or aryl-substituted alkyl, R^5 and R^6 may be taken together with the atoms to which they are attached to form a heterocycle of 5 to 7 members;~~

~~each of said Cy is cycloalkyl, heterocyclyl, aryl, or heteroaryl;~~

~~each of said alkyl, alkenyl and alkynyl is optionally substituted with one to four substituents independently selected from R^a; and~~

~~each of said cycloalkyl, cycloalkenyl, heterocyclyl, aryl, or heteroaryl is optionally substituted with one to four substituents independently selected from R^b;~~

~~R^a is -~~

~~1) -Cy,~~

~~2) -OR^e,~~

~~3) NO_2,~~

~~4) halogen,~~

~~5) $S(O)_mR^e$;~~

~~6) SR^e;~~

~~7) $S(O)_2OR^e$;~~

~~8) $S(O)_2NR^eR^d$;~~

~~9) NR^eR^d;~~

~~10) $O(CR^eR^f)_nNR^eR^d$;~~

~~11) $C(O)R^d$;~~

~~12) CO_2R^e;~~

~~13) $P(O)(OR^e)(OR^d)$,~~

~~14) $P(O)(R^e)(OR^d)$,~~

~~15) $S(O)_mOR^e$;~~

~~16) $C(O)NR^eR^j$,~~

~~17) $CO_2(CR^eR^f)_nCONR^eR^d$;~~

~~18) $OC(O)R^e$;~~

~~19) CN,~~

~~20) $NR^eC(O)R^d$;~~

~~21) $OC(O)NR^eR^d$;~~

~~22) $NR^eC(O)OR^d$;~~

~~23) $NR^eC(O)NR^dR^e$;~~

~~24) $CR^e(NOR^d)$,~~

~~25) CF_3,~~

~~26) OCF_3, or~~

~~27) oxo~~

~~wherein Cy is optionally substituted with one to four substituents independently selected from R^b;~~

~~R^b is~~

~~1) a group selected from R^a;~~

~~2) C_{1-10} alkyl,~~

~~3) C_{2-10} alkenyl,~~

~~4) C_{2-10} alkynyl,~~

~~5) aryl-C_{1-10} alkyl, or~~

~~6) heteroaryl-C_{1-10} alkyl,~~

~~wherein each of alkyl, alkenyl, alkynyl, aryl, and heteroaryl is optionally substituted with a group independently selected from R^g~~

~~each of R^c, R^d, R^e, and R^f, independently, is~~

~~1) H,~~

~~2) C_{1-10} alkyl,~~

~~3) C_{2-10} alkenyl,~~

~~4) C_{2-10} alkynyl,~~

~~5) Cy, or~~

~~6) Cy-C_{1-10} alkyl;~~

~~wherein each of alkyl, alkenyl, alkynyl and Cy is optionally substituted with one to four substituents independently selected from R^g;~~

~~R^g is~~

~~1) halogen,~~

~~2) amino,~~

~~3) carboxy,~~

~~4) -COO-C_{1-4} alkyl,~~

~~5) -P(O)(OH)$_2$,~~

~~6) -P(O)(OH)(O-C_{1-4} alkyl),~~

~~7) -P(O)(C_{1-4} alkyl)$_2$,~~

~~8) -P(O)(OH)(C_{1-4} alkyl),~~

~~9) -P(O)(O-C_{1-4} alkyl)(C_{1-4} alkyl),~~

~~10) SO_2-C_{1-4} alkyl,~~

~~11) -CO-NH_2,~~

~~12) -CO-NH(C_{1-4} alkyl),~~

~~13) -CO-N(C_{1-4} alkyl)$_2$,~~

~~14) C_{1-4} alkyl,~~

~~15) C_{1-4} alkoxy,~~

~~16) aryl,~~

~~17) aryl-C_{1-4} alkoxy,~~

~~18) hydroxy,~~

~~19) CF_3, or~~

~~20) aryloxy;~~

~~R^m is~~

~~1) H,~~

~~2) C_{1-10} alkyl,~~

~~3) C_{2-10} alkenyl,~~

~~4) C_{2-10} alkynyl,~~

~~5) Cy,~~

~~6) Cy-C_{1-10} alkyl,~~

~~7) C_{1-10} acyl,~~

~~8) C_{1-10} alkyl-sulfonyl, or~~

~~9) C_{1-10} alkoxy; and~~

~~R^j is~~

~~1) H,~~

~~2) C_{1-10} alkyl,~~

~~3) C_{2-10} alkenyl,~~

~~4) C_{2-10} alkynyl,~~

~~5) cyano,~~

~~6) aryl,~~

~~7) aryl-C_{1-10} alkyl,~~

~~8) heteroaryl,~~

~~9) heteroaryl-C_{1-10} alkyl, or~~

~~10) SO_2R^k;~~

~~where R^k is C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, or aryl;~~

~~R^e and R^d taken together with the atoms to which they are attached optionally form a heterocyclic ring of 5 to 7 members, said ring containing 0-2 additional heteroatoms independently selected from O, N and S;~~

~~R^e and R^f taken together with the atoms to which they are attached optionally form a ring of 5 to 7 members, said ring containing 0-2 additional heteroatoms independently selected from O, S and N;~~

~~m is 0, 1, or 2;~~

~~n is an integer from 1 to 10;~~

~~provided that when L is saturated and has 1-4 carbon chain atoms,~~

~~(i) L must contain a heteroatom selected from O, S, and N; or~~

~~(ii) R^3 must contain the moiety o-methylphenyl-ureido-phenyl-CH_2-; or~~

~~(iii) R^1 must contain only one Cy group;~~

~~(iv) when L is terminally attached to R^3 by -C(=O)NH-, R^1 is not optionally substituted pyrrolidinyl substituted with a -SO_2-optionally substituted phenyl group;~~

~~or a pharmaceutically acceptable salt thereof.~~

62. (Currently amended) The composition of claim 61, wherein said compound is compound no.

~~6696~~
~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,~~
~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~
~~(i) terminally attached to R^1 by -NHC(=O)- and~~
~~(ii) substituted with -COOH,~~
~~L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,~~
~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~
~~Y^5 is -C(=O)-,~~
~~R^5 and R^6 together are 1,3-propanediyl);~~
~~6697~~
~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,~~
~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~
~~(i) terminally attached to R^1 by -NHC(=O)- and~~
~~(ii) substituted with -COOH,~~
~~L is C_1 alkyl terminally attached to R^3 by -C(=O)NH-,~~
~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~
~~Y^5 is -C(=O)-,~~

~~R^5 and R^6 together are 1,3-propanediyl);~~

~~7256~~

~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_4 alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 and R^6 together are 1,3-propanediyl);~~

~~7578~~

~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is methyl, and~~

~~R^6 is hydrogen);~~

8555

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-piperidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

~~8571~~

~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)azacyclo-2-butyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C$_2$ alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is methyl, and~~

~~R^6 is 2-methylpropyl);~~

~~8646~~

~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -CH$_2$COOH,~~

~~L is C$_2$ alkyl interrupted by -NR^e-C(O)- where R^e is H,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is hydrogen, and~~

~~R^6 is 2-methylpropyl);~~

~~9271~~

~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-methyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -C(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is 1,4-piperidinediyl terminally attached to R^3 by -C(=O)-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is hydrogen, and~~

~~R^6 is 2-methylpropyl);~~

~~7083~~

~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is N-[2-(pyrrolidine-2-yl)ethyl]-methanesulfonylaminomethyl, terminally attached to R3 by -C(=O)- at the 1-position of the pyrrolidine ring,~~

~~R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);~~

~~7328~~

~~(R^1 is 1-methanesulfonyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is methyl, and~~

~~R^6 is 2-methylpropyl);~~

~~7399~~

~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is hydrogen, and~~

~~R^6 is hydrogen);~~

~~7788~~

~~(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_2 alkyl terminally attached to -O-(o-phenyl)- terminally attached to R^3 by -C(=O)NH-,~~

~~R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);~~

~~7855~~

~~(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -CH_2COOH,~~

~~L is C_4 alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);~~

~~8205~~

~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_5 alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);~~

~~8290~~

~~(R^1 is 1-(furan-2-ylmethyl)-5-oxopyrrolidin-2S-yl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is methyl, and~~

~~R^6 is 2-methylpropyl);~~

~~8291~~

~~(R^1 is 1-(furan-2-ylmethyl)-5-oxopyrrolidin-2R-yl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_2-alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is methyl, and~~

~~R^6 is 2-methylpropyl);~~

~~8294~~

~~(R^1 is 1-(thiophene-2-ylmethyl)-5-oxopyrrolidin-2S-yl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_2-alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is methyl, and~~

~~R^6 is 2-methylpropyl);~~

~~8295~~

~~(R^1 is 1-(thiophene-2-ylmethyl)-5-oxopyrrolidin-2R-yl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_2-alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is methyl, and~~

~~R^6 is 2-methylpropyl);~~

~~8304~~

~~(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is p-tolyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);~~

~~8557~~

~~(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is p-tolyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^3 is ethyl-2-(4-[N'-(2-methylphenyl)ureido]phenyl));~~

~~8583~~

~~(R^1 is 1-methanesulfonyl-5-phenyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is methyl, and~~

~~R^6 is 2-methylpropyl);~~

~~8586~~

~~(R^1 is (benzenesulfonyl)cyclohexylaminomethyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is methyl, and~~

~~R^6 is 2-methylpropyl);~~

~~8606~~

~~(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is 4-[(pyrrolidine-1-carbonyl)-amino]phenylmethyl terminally attached to R^3 by -C(=O)NH- at the 3-position of the pyrrolidine ring,~~

~~R^3 is benzyl);~~

~~8607~~

~~(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is 4-[(pyrrolidine-1-carbonyl)-amino]phenylmethyl terminally attached to R^3 by -C(=O)NH- at the 3-position of the pyrrolidine ring,~~

~~R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);~~

8628

(R^1 is 1-benzenesulfonyl-3-piperidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

8674

(R^1 is 1-benzenesulfonyl-4-piperidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

~~8684~~

~~(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is p-xylyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);~~

~~8929~~

~~(R^1 is 2,6-dichlorophenyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -NHC(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is C$_2$ alkyl terminally attached to R^3 by -C(=O)NH-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is methyl, and~~

~~R^6 is 2-methylpropyl);~~

~~9273~~

~~(R^1 is 2,6-dichlorophenyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -C(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is 1,4-piperidinediyl terminally attached to R^3 by -C(=O)-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is hydrogen, and~~

~~R^6 is 2-methylpropyl); or~~

~~9275~~

~~(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-methyl-2-pyrrolidinyl,~~

~~L' is a hydrocarbon linker moiety having 1 carbon chain atom and is~~

~~(i) terminally attached to R^1 by -C(=O)- and~~

~~(ii) substituted with -COOH,~~

~~L is 4-methylpiperidine-1-yl terminally attached to R^3 by -C(=O)-,~~

~~R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,~~

~~Y^5 is -C(=O)-,~~

~~R^5 is hydrogen, and~~

~~R^6 is 2-methylpropyl)~~.

63.-65. (Cancelled)

66. (Currently amended) A compound of the formula:

$$\mathbf{R^3—L—L'—R^1}$$

wherein

R^1 is ~~Cy, Cy-C_{1-10} alkyl, Cy-C_{1-10} alkenyl, or Cy-C_{1-10} alkynyl~~ piperidinyl substituted with -SO_2-R^{14}, where R^{14} is

1) C_{1-10} alkyl,
2) C_{2-10} alkenyl,
3) C_{2-10} alkynyl,
4) Cy,
5) Cy-C_{1-10} alkyl,
6) Cy-C_{2-10} alkenyl, or
7) Cy-C_{2-10} alkynyl;

L' is a hydrocarbon linker moiety having 1 [[-5]] carbon chain ~~atoms~~ atom and is

(i) optionally terminally attached to -NR^c-C(O)-; and

(ii) optionally substituted with one or more substituents independently selected from R^b; and

(iii) substituted with R^2, where R^2 is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy,

6) Cy-C_{1-10} alkyl,

7) Cy-C_{1-10} alkenyl, or

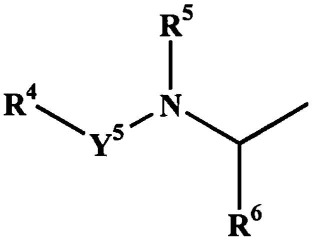
8) Cy-C_{1-10} alkynyl;

L is C_{1-5} alkylene terminally attached to R^3 by -C(=O)NH-; ~~a hydrocarbon linker moiety having 1-4 carbon chain atoms and is~~

~~(i) optionally terminally attached to-C(O)-NR^e-; and~~

~~(ii) optionally substituted with one or more substituents independently selected from R^b~~; and

R^3 is a moiety of the following formula:



wherein:

Y^5 is -CO-;

~~each of~~ **R^4** is Z^5-L^c-Z^6, where Z^5 is aryl, L^c is -NHC(=O)NH-, and Z^6 is aryl-C_{1-10} alkyl; ~~and~~

R^6~~, independently,~~ is alkyl or aralkyl; and

R^5 is hydrogen or aryl;

each of said Cy is heterocyclyl, or heteroaryl;

each of said alkyl is optionally substituted with one to four substituents independently selected from R^a; and

each of said heterocyclyl, or heteroaryl is optionally substituted with one to four substituents independently selected from R^b;

R^a is

1) Cy,

2) -OR^c,

3) -NO_2,

4) -halogen,

5) -S(O)$_m$R^c,

6) -SR^c,

7) $-S(O)_2OR^c$,
8) $-S(O)_2NR^cR^d$,
9) $-NR^cR^d$,
10) $-O(CR^eR^f)_nNR^cR^d$,
11) $-C(O)R^d$,
12) $-CO_2R^c$,
13) $-P(O)(OR^c)(OR^d)$,
14) $-P(O)(R^c)(OR^d)$,
15) $-S(O)_mOR^c$,
16) $-C(O)NR^cR^j$,
17) $-CO_2(CR^eR^f)_nCONR^cR^d$,
18) $-OC(O)R^c$,
19) -CN,
20) $-NR^cC(O)R^d$,
21) $-OC(O)NR^cR^d$,
22) $-NR^cC(O)OR^d$,
23) $-NR^cC(O)NR^dR^e$,
24) $-CR^c(NOR^d)$,
25) $-CF_3$,
26) $-OCF_3$, or
27) oxo

wherein Cy is optionally substituted with one to four substituents independently selected from R^b,

R^b is

1) a group selected from R^a,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,7
4) C_{2-10} alkynyl,
5) aryl-C_{1-10} alkyl, or
6) heteroaryl-C_{1-10} alkyl,

wherein each of alkyl, alkenyl, alkynyl, aryl, and heteroaryl is optionally substituted with a group independently selected from R^g

each of R^c, R^d, R^e, and R^f, independently, is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy, or
6) Cy-C_{1-10} alkyl;

wherein each of alkyl, alkenyl, alkynyl and Cy is optionally substituted with one to four substituents independently selected from R^g;

R^g is

1) halogen,
2) amino,
3) carboxy,
4) -COO-C_{1-4} alkyl,
5) $-P(O)(OH)_2$,
6) -P(O)(OH)(O-C_{1-4} alkyl),
7) -P(O)(C_{1-4} alkyl$)_2$,
8) -P(O)(OH)(C_{1-4} alkyl),
9) -P(O)(O-C_{1-4} alkyl)(C_{1-4} alkyl),
10) $-SO_2$-C_{1-4} alkyl,
11) $-CO-NH_2$,
12) -CO-NH(C_{1-4} alkyl),
13) -CO-N(C_{1-4} alkyl$)_2$,
14) C_{1-4} alkyl,
15) C_{1-4} alkoxy,
16) aryl,
17) aryl-C_{1-4} alkoxy,
18) hydroxy,
19) CF_3, or

20) aryloxy; and

R^j is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) cyano,
6) aryl,
7) aryl-C_{1-10} alkyl,
8) heteroaryl,
9) heteroaryl-C_{1-10} alkyl, or
10) $-SO_2R^k$,

where R^k is C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, and aryl;

R^c and R^d taken together with the atoms to which they are attached optionally form a heterocyclic ring of 5 to 7 members, said ring containing 0-2 additional heteroatoms independently selected from O, N and S;

R^e and R^f taken together with the atoms to which they are attached optionally form a ring of 5 to 7 members, said ring containing 0-2 additional heteroatoms independently selected from O, S and N;

m is 0, 1, or 2;

n is an integer from 1 to 10;

provided that when L is saturated and has 1-4 carbon chain atoms,

(i) L must contain a heteroatom selected from O, S, and N; or
(ii) R^3 must contain the moiety o-methylphenyl-ureido-phenyl-CH_2-; or
(iii) R^1 must contain only one Cy group;
(iv) when L is terminally attached to R^3 by -C(=O)NH-, R^1 is not optionally substituted pyrrolidinyl substituted with a $-SO_2$-optionally substituted phenyl group;

or a pharmaceutically acceptable salt thereof.

67. (Original) The compound of claim 66, wherein R^1 is Z^1-L^a-Z^2-, in which Z^1 is aryl or aryl-C_{1-10} alkyl; L^a is $-S(O)_m-$; m being 2; and Z^2 is heterocyclyl, heterocyclyl-C_{1-10} alkyl, heteroaryl, or heteroaryl-C_{1-10} alkyl.

68.-85. (Cancelled)

86. (Currently amended) A compound of the formula:

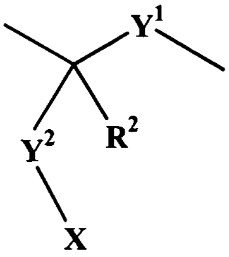
$$R^3—L—L'—R^1$$

wherein

R^1 is ~~Cy~~ piperidinyl substituted with $-SO_2-R^{14}$, where R^{14} is

1) C_{1-10} alkyl,
2) C_{2-10} alkenyl,
3) C_{2-10} alkynyl,
4) Cy,
5) Cy-C_{1-10} alkyl,
6) Cy-C_{2-10} alkenyl, or
7) Cy-C_{2-10} alkynyl;

L' is



in which

Y^1 is

~~1) -C(O)-,~~
~~2) -O-C(O)-,~~
~~3) -C(O)-O-,~~
~~4) -C(O)-NR^e-,~~
-NR^c-C(O)-,
~~5) -NR^e-C(O)-NR^d-,~~
~~6) -NR^e-C(O)-O-,~~
~~7) -O-C(O)-NR^e-,~~
~~8) -S(O)$_m$-,~~

~~16) -S(O)$_2$-NR^e-,~~

~~17) -NR^e-S(O)$_2$-,~~

~~18) -NR^e-C(NR^m)-,~~

~~19) -O-, or~~

~~20) -NR^e-;~~

R^2 is

1) H,

2) C$_{1-10}$ alkyl,

3) C$_{2-10}$ alkenyl,

4) C$_{2-10}$ alkynyl,

5) Cy,

6) Cy-C$_{1-10}$ alkyl,

7) Cy-C$_{1-10}$ alkenyl, or

8) Cy-C$_{1-10}$ alkynyl;

Y^2 is a bond ~~or -C(R^h)(R^i)-; wherein each of R^h and R^i is independently selected from the group consisting of:~~

~~1) H,~~

~~2) C$_{1-10}$ alkyl,~~

~~3) C$_{2-10}$ alkenyl,~~

~~4) C$_{2-10}$ alkynyl,~~

~~5) aryl,~~

~~6) aryl-C$_{1-10}$ alkyl,~~

~~7) heteroaryl, and~~

~~8) heteroaryl-C$_{1-10}$ alkyl,~~

~~R^h and R^i taken together with the carbon to which they are attached may optionally form a 3-7 membered ring containing 0-2 heteroatoms selected from N, O and S~~;

X is

1) -C(O)OR^c,

~~2) -P(O)(OR^e)(OR^d),~~

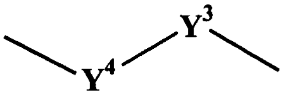
~~3) -P(O)(R^e)(OR^d),~~

~~4) -S(O)$_m$OR^e,~~

~~5) -C(O)NR^{e}R^j, or~~

~~6) 5-tetrazolyl;~~

L is



in which

Y^3 is

~~1) a bond,~~

[[C_{1-10}]] $\underline{C_{1-5}}$ alkyl,

~~2) C_{2-10} alkenyl,~~

~~3) C_{2-10} alkynyl,~~

~~4) aryl,~~

~~5) aryl-C_{1-10} alkyl,~~

~~6) heteroaryl, or~~

~~7) heteroaryl-C_{1-10} alkyl; and~~

Y^4 is

~~1) a bond,~~

~~2) -C(O)-,~~

~~3) -O-C(O)-,~~

~~4) -C(O)-O-,~~

-C(O)-NR^c-,

~~5) -NR^e-C(O)-,~~

~~6) -NR^e-C(O)-NR^d-,~~

~~7) -NR^e-C(O)-O-,~~

~~8) -O-C(O)-NR^e-,~~

~~9) -S(O)$_m$-,~~

~~10) -S(O)$_2$-NR^e-,~~

~~11) -NR^e-S(O)$_2$-,~~

~~12) -NR^e-C(NR^d)-,~~

~~13) -O-, or~~

~~14) -NR^e-;~~

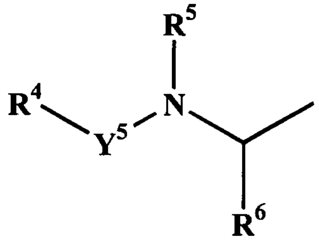
~~**R**^{3} is Z^3-L^b-Z^4-, in which~~

~~Z^3 is Cy, Cy-C_{1-10} alkyl, Cy-C_{1-10} alkenyl, or Cy-C_{1-10} alkynyl;~~

~~L^b is -C(O)-, -O-C(O)-, -C(O)-O-, -C(O)-NR^e-, -NR^e-C(O)-, -NR^e-C(O)-NR^d-, -NR^e-C(O)-O-, -O-C(O)-NR^e-, -S(O)$_m$-, -SO$_2$-NR^e-, -NR^e-SO$_2$-, -O-, -NR^e-, or a bond; and~~

~~Z^4 is cycloalkyl, cycloalkyl-C_{1-10} alkyl, cycloalkenyl, cycloalkenyl-C_{1-10} alkyl, aryl, aryl-C_{1-10} alkyl, heterocyclyl, heterocyclyl-C_{1-10} alkyl, heteroaryl, heteroaryl-C_{1-10} alkyl or a bond; or~~

R^3 is a moiety of the following formula:



wherein:

Y^5 is ~~selected from the group consisting of~~ -CO-, ~~-O-CO-, -SO$_2$- and -PO$_2$-;~~

R^4 is Z^5-L^c-Z^6, where Z^5 is aryl, L^c is -NH-C(O)-NH-, and Z^6 is aryl-C_{1-10} alkyl;

~~each of **R**^{4} and~~ **R**^{6} ~~, independently,~~ is alkyl, alkenyl, alkynyl, cycloalkyl, aryl-fused cycloalkyl, cycloalkenyl, aryl, aralkyl, aryl-substituted alkenyl or alkynyl, cycloalkyl-substituted alkyl, cycloalkenyl-substituted cycloalkyl, biaryl, alkenoxy, alkynoxy, aralkoxy, aryl-substituted alkenoxy or alkynoxy, alkylamino, alkenylamino or alkynylamino, aryl-substituted alkylamino, aryl-substituted alkenylamino or alkynylamino, aryloxy, arylamino, heterocyclyl, heterocyclyl-substituted alkyl, heterocyclyl-substituted amino, carboxyalkyl substituted aralkyl, oxocarbocyclyl-fused aryl, or an amino acid side chain selected from the group consisting of arginine, asparagine, glutamine, S-methyl cysteine, methionine and corresponding sulfoxide and sulfone derivatives thereof, cyclohexylalanine, leucine, isoleucine, allo-isoleucine, tert-leucine, norleucine, phenylalanine, phenylglycine, tyrosine, tryptophan, proline, alanine, ornithine, histidine, glutamine, norvaline, valine, threonine, serine, beta-cyanoalanine, 2-aminobutyric acid and allothreonine; and

R^5 is hydrogen, aryl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, or aryl-substituted alkyl, R^5 and R^6 may be taken together with the atoms to which they are attached to form a heterocycle of 5 to 7 members;

R^a is

1) Cy,

2) $-OR^c$,

3) $-NO_2$,

4) -halogen,

5) $-S(O)_mR^c$,

6) $-SR^c$,

7) $-S(O)_2OR^c$,

8) $-S(O)_2NR^cR^d$,

9) $-NR^cR^d$,

10) $-O(CR^eR^f)_nNR^cR^d$,

11) $-C(O)R^d$,

12) $-CO_2R^c$,

13) $-P(O)(OR^c)(OR^d)$,

14) $-P(O)(R^c)(OR^d)$,

15) $-S(O)_mOR^c$,

16) $-C(O)NR^cR^j$,

17) $-CO_2(CR^eR^f)_nCONR^cR^d$,

18) $-OC(O)R^c$,

19) -CN,

20) $-NR^cC(O)R^d$,

21) $-OC(O)NR^cR^d$,

22) $-NR^cC(O)OR^d$,

23) $-NR^cC(O)NR^dR^e$,

24) $-CR^c(NOR^d)$,

25) $-CF_3$,

26) $-OCF_3$, or

27) oxo

wherein Cy is optionally substituted with one to four substituents independently selected from R^b,

R^b is

1) a group selected from R^a,

2) C_{1-10} alkyl,

3) C_{2-10} alkenyl,

4) C_{2-10} alkynyl,

5) aryl-C_{1-10} alkyl, or

6) heteroaryl-C_{1-10} alkyl,

wherein each of alkyl, alkenyl, alkynyl, aryl, and heteroaryl is optionally substituted with a group independently selected from R^g;

each of R^c, R^d, R^e, and R^f, independently, is

1) H,

2) C_{1-10} alkyl,

3) C_{2-10} alkenyl,

4) C_{2-10} alkynyl,

5) Cy, or

6) Cy-C_{1-10} alkyl;

wherein each of alkyl, alkenyl, alkynyl and Cy is optionally substituted with one to four substituents independently selected from R^g;

R^g is

1) halogen,

2) amino,

3) carboxy,

4) -COO-C_{1-4} alkyl,

5) $-P(O)(OH)_2$,

6) -P(O)(OH)(O-C_{1-4} alkyl),

7) -P(O)(C_{1-4} alkyl$)_2$,

8) -P(O)(OH)(C_{1-4} alkyl),

9) -P(O)(O-C_{1-4} alkyl)(C_{1-4} alkyl),

10) $-SO_2$-C_{1-4} alkyl,

11) $-CO-NH_2$,

12) -CO-NH(C_{1-4} alkyl),

13) -CO-N(C_{1-4} alkyl$)_2$,

14) C_{1-4} alkyl,

15) C_{1-4} alkoxy,

16) aryl,
17) aryl-C_{1-4} alkoxy,
18) hydroxy,
19) CF_3, or
20) aryloxy;

R^m is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy,
6) Cy-C_{1-10} alkyl;
7) C_{1-10} acyl,
8) cyano,
9) C_{1-10} alkyl-sulfonyl, or
10) C_{1-10} alkoxy; and

R^j is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) cyano,
6) aryl,
7) aryl-C_{1-10} alkyl,
8) heteroaryl,
9) heteroaryl-C_{1-10} alkyl, or
10) -SO_2R^k,

where R^k is C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, and aryl;

R^c and R^d taken together with the atoms to which they are attached optionally form a heterocyclic ring of 5 to 7 members, said ring containing 0-2 additional heteroatoms independently selected from O, N and S;

R^e and R^f taken together with the atoms to which they are attached optionally form a ring of 5 to 7 members, said ring containing 0-2 additional heteroatoms independently selected from O, S and N;

m is 0, 1, or 2;

n is an integer from 1 to 10;

provided that when L is saturated and has 1-4 carbon chain atoms,

(i) L must contain a heteroatom selected from O, S, and N; or

(ii) R^3 must contain the moiety o-methylphenyl-ureido-phenyl-CH_2-; or

(iii) R^1 must contain only one Cy group;

(iv) when L is terminally attached to R^3 by -C(=O)NH-, R^1 is not optionally substituted pyrrolidinyl substituted with a -SO_2-optionally substituted phenyl group; and

provided that when Y^2 is not a bond, X is -COOH, -COO-C_{1-4} alkyl, -P(O)$(OH)_2$, -P(O)(OH)(O-C_{1-4} alkyl), -P(O)$(C_{1-4}$ alkyl$)_2$, -P(O)(OH)(C_{1-4} alkyl), -P(O)(O-C_{1-4} alkyl)(C_{1-4} alkyl), -SO_2-C_{1-4} alkyl, -CO-NH_2, -CO-NH(C_{1-4} alkyl), -CO-N(C_{1-4} alkyl$)_2$, or -5-tetrazolyl or a pharmaceutically acceptable salt thereof.

87.-100. (Cancelled)